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J1135 U.S. PTO 04/30/03

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PROVISIONAL APPLICATION FOR PATENT COVER SHEET

This is a request for filing a PROVISIONAL APPLICATION FOR PATENT under 37 CFR 1.53(c).

PTO 03 U.S. PTO 60/466945 04/30/03

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☐ *Additional inventors are being named on th____ separately numbered sheets attached hereto*

TITLE OF THE INVENTION (280 characters max)

ANTIBIOTICS FOR THE TREATMENT OF ANTHRAX AND OTHER INFECTIONS

Direct all correspondence to: **CORRESPONDENCE ADDRESS**

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ENCLOSED APPLICATION PARTS *(check all that apply)*

☒ Specification *Number of Pages* 60 ☐ CD(s), Number

☐ Drawing(s) *Number of Sheets* ☒ Other (specify) Express Mail Certificate

☐ Application Data Sheet. See 37 CFR 1.76

METHOD OF PAYMENT OF FILING FEES FOR THIS PROVISIONAL APPLICATION FOR PATENT *(check one)*

		FILING FEE AMOUNT ($)
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The invention was made by an agency of the United States Government or under a contract with an agency of the United States Government.

☒ No.

☐ Yes, the name of the U.S. Government agency and the Government contract number are: _____

Respectfully submitted,

SIGNATURE

TYPED or PRINTED NAME Peter F. Corless

TELEPHONE (617) 439-4444

Date 4/30/03

REGISTRATION NO. *(if appropriate)* 33,860

Docket Number: 59134-P (41925)

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This collection of information is required by 37 CFR 1.51. The information is used by the public to file (and by the PTO to process) a provisional application. Confidentiality is governed by 35 U.S.C. 122 and 37 CFR 1.14. This collection is estimated to take 8 hours to complete, including gathering, preparing, and submitting the complete provisional application to the PTO. Time will vary depending upon the individual case. Any comments on the amount of time you require to complete this form and/or suggestions for reducing this burden, should be sent to the Chief Information Officer, U.S. Patent and Trademark Office, U.S. Department of Commerce, Washington, D.C. 20231. DO NOT SEND FEES OR COMPLETED FORMS TO THIS ADDRESS. SEND TO: Box Provisional Application, Assistant Commissioner for Patents, Washington, D.C.

P19LARGE/REV05

[redacted]

Docket No. 59134-P (41925)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

APPLICANT: Christian HUBSCHWERLEN, Jean-Luc SPECKLIN, Hans LOCHER, Daniel K. BAESCHLIN

APPLICATION NO.: Not Yet Assigned

FILING DATE: Herewith

FOR: ANTIBIOTICS FOR THE TREATMENT OF ANTHRAX AND OTHER INFECTIONS

ASSISTANT COMMISSIONER FOR PATENTS
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(1) Provisional Application for Patent Cover Sheet (1 page);
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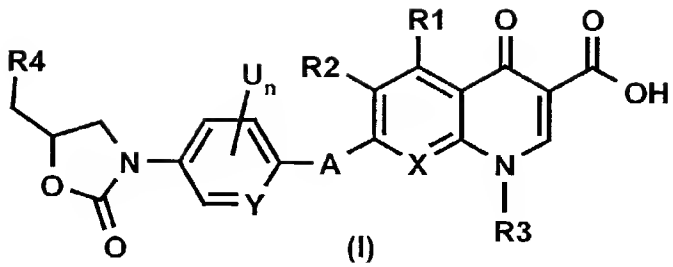
Docket No. 59134-P (41925)
Express Mail Label No. EV068451887US

Antibiotics for the Treatment of Anthrax and Other Infections

The present invention describes the use of compounds in which the pharmacophores of quinolone and oxazolidinone are chemically linked together through a linker that is stable under physiological conditions for the treatment of anthrax and other infections.

Anthrax is an acute infectious disease caused by the spore-forming bacterium *Bacillus anthracis*. Anthrax most commonly occurs in wild and domestic lower vertebrates (cattle, sheep, goats, camels, antelopes, and other herbivores), but it can also occur in humans when they are exposed to infected animals or tissue from infected animals. *Bacillus anthracis*, the etiologic agent of anthrax, is a large, gram-positive, non-motile, spore-forming bacterial rod. The three virulence factors of *B. anthracis* are edema toxin, lethal toxin and a capsular antigen. Human anthrax has three major clinical forms: cutaneous, inhalation, and gastrointestinal. If left untreated, anthrax in all forms can lead to septicemia and death. Recently, anthrax has become of considerable interest, because it is considered to be a potential agent for use in biological warfare.

The present invention provides the use of compounds of Formula (I) for the treatment of anthrax and other infections:



(I)

wherein

A is a direct bond, a NH, O, S, SO, SO_2, SO_2NH, PO_4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, an alkylen group, an alkenylen group, an alkinylen group, a heteroalkylen group, an arylen group, a heteroarylen group, a cycloalkylen group, a heterocycloalkylen group, an alkylarylen group or a heteroarylalkylen group or a combination of two or more of these atoms or groups;

X is CR5 or N;

Y is CR6 or N;

U is F or Cl;

n is 0, 1, 2 or 3;

R1 is H, F, Cl, Br, I, OH, NH_2, an alkyl group or a heteroalkyl group;

R2 is H, F or Cl;

R3 is H, an alkyl group, an alkenyl group, an alkinyl group, a heteroalkyl group, a cycloalkyl

group, a heterocycloalkyl group, an aryl group, a heteroaryl group, an alkylaryl group or a heteroarylalkyl group; all of which may be substituted with one, two or more halogen atoms like F or Cl.

R4 is a heteroalkyl group, a cycloalkyl group, a heterocycloalkyl group, an aryl group, a heteroaryl group, an alkylaryl group or a heteroarylalkyl group;

R5 is H, F, Cl, OH, NH_2, an alkyl group or a heteroalkyl group, or

R3 and R5 can be linked via an alkylen, an alkenylen or a heteroalkylen group or be a part of a cycloalkylen or heterocyclo-alkylen group; in case R3 is no H and R5 is no H, F, OH, NH_2 or Cl;

R6 is H, F, Cl or OMe;

or a pharmacologically acceptable salt, solvate, hydrate or formulation thereof.

It should be appreciated that certain compounds of formula (I) may have tautomeric forms from which only one might be specifically mentioned or depicted in the following description, different geometrical isomers (which are usually denoted as cis/trans isomers or more generally as (E) and (Z) isomers) or different optical isomers as a result of one or more chiral carbon atoms (which are usually nomenclatured under the Cahn-Ingold-Prelog or R/S system). Further, some compounds may

display polymorphism. All these tautomeric forms, geometrical or optical isomers (as well as racemates and diastereomers) and polymorphous forms are included in the invention.

The term alkyl refers to a saturated or unsaturated (i.e. alkenyl and alkinyl) straight or branched chain alkyl group, containing from one to ten, preferably one to six carbon atoms for example methyl, ethyl, propyl, iso-propyl, butyl, iso-butyl, sec-butyl, tert-butyl, n-pentyl, iso-pentyl n-hexyl, 2,2-dimethylbutyl, n-octyl; ethenyl (vinyl), propenyl (allyl), iso-propenyl, n-pentyl, butenyl, isoprenyl or hexa-2-enyl; ethinyl, propinyl or butinyl groups. Any alkyl group as defined herein may be substituted with one, two or more substituents, for example F, Cl, Br, I, NH_2, OH, SH or NO_2.

The terms alkenyl and alkinyl refer to a unsaturated straight or branched chain alkyl group (having one, two ormore double and/or triple bonds, an alkenyl preferably having one or two double bonds and an alkinyl preferably having one or two triple bonds), containing from one to ten, preferably one to six carbon atoms for example: ethenyl (vinyl), propenyl (allyl), iso-propenyl, n-pentenyl, butenyl, isoprenyl or hexa-2-enyl; ethinyl, propinyl or butinyl groups. Any alkenyl or alkinyl group as defined herein may be substituted with one, two or more substituents, for example F, Cl, Br, I, NH_2, OH, SH or NO_2.

The term heteroalkyl refers to an alkyl group as defined herein where one or more carbon atoms are

replaced by an oxygen, nitrogen, phosphorous or sulphur atom for example an alkoxy group such as methoxy, ethoxy, propoxy, iso-propoxy, butoxy or tert.-butoxy, an alkoxyalkyl group such as methoxymethyl, ethoxymethyl, 1-methoxyethyl, 1-ethoxyethyl, 2-methoxyethyl or 2-ethoxyethyl, an alkylamino group such as methylamino, ethylamino, propylamino, isopropylamino, dimethylamino or diethylamino, an alkylthio group such as methylthio, ethylthio or isopropylthio or a cyano group. It may also refer to one of the above groups containing a keto group. The term heteroalkyl furthermore refers to a group derived from a carboxylic acid or carboxylic acid amide such as acetyl, propionyl, acetyloxy, propionyloxy, acetylamino or propionylamino, a carboxyalkyl group such as carboxymethyl, carboxyethyl or carboxypropyl, a carboxyalkyl ester, an alkylthiocarboxyamino group, an alkoxyimino group, an alkylaminothiocarboxyamino group or an alkoxycarbonylamino group. Any heteroalkyl group as defined herein may be substituted with one, two or more substituents, for example F, Cl, Br, I, NH_2, OH, SH or NO_2.

The term cycloalkyl refers to a saturated or partially unsaturated (having one, two or more double and/or triple bonds), cyclic group with one, two or more rings, having three to 14 carbon ring-atoms, preferably from five or six to ten carbon ring-atoms, for example cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, tetralin, cyclopentenyl or cyclohex-2-enyl groups. Any cycloalkyl group as defined herein may be substituted with one, two or more substituents, for example F, Cl, Br, I, OH, NH_2, SH, N_3, NO_2, alkyl groups such as methyl

or ethyl, heteroalkyl groups such as methoxy, methylamino, dimethylamino or cyanide.

The term heterocycloalkyl refers to a cycloalkyl group as defined herein where one, two or more carbon ring-atoms are replaced by one, two or more oxygen, nitrogen, phosphorous or sulphur atoms or $S(0)_{1-2}$ groups for example piperidino, morpholino or piperazino groups.

The term aryl refers to an aromatic cyclic group with one, two or more rings, having five to 14 carbon ring-atoms preferably from five or six to ten carbon ring-atoms, for example phenyl or naphthyl groups. Any aryl group as defined herein may be substituted with one, two or more substituents, for example F, Cl, Br, I, OH, NH_2, SH, N_3, NO_2, alkyl groups such as methyl or ethyl, heteroalkyl groups such as methoxy, methylamino, dimethylamino or cyanide.

The term heteroaryl refers to an aryl group as defined herein where one, two or more ring-carbon atoms are replaced by an oxygen, nitrogen, boron, phosphorous or sulphur atom, for example pyridyl, imidazolyl, pyrazolyl, quinolinyl, isoquinolinyl, pyrrolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, 1,2,3-triazolyl, 1,2,4-triazolyl, oxadiazolyl, thiadiazolyl, indolyl, indazolyl, tetrazolyl, pyrazinyl, pyrimidinyl and pyridazinyl groups.

The terms arylalkyl, alkylaryl and heteroarylalkyl, heteroalkylaryl refer to groups that comprise both aryl or, respectively, heteroaryl as well as alkyl and/or

heteroalkyl and/or cycloalkyl and/or heterocycloalkyl groups.

Preferred and/or advantageous embodiments of the invention are subject-matter of the subclaims.

Preferred are compounds of Formula (I), wherein R1 is H or NH_2 (especially H).

Further preferred are compounds of Formula (I), wherein R2 is H or F (especially F).

Moreover preferred are compounds of Formula (I), wherein R3 is an ethyl, a 2-propyl, a C3-C6 cycloalkyl, a phenyl or a pyridyl group. All these groups may be substituted by one, two or more fluorine atoms or amino groups.

Moreover preferred are compounds of Formula (I), wherein R3 is a cyclopropyl group.

Further preferred are compounds of Formula (I), wherein R3 and R5 together form a bridge of the formula -$O-CH_2-N(Me)-$ or -$O-CH_2-CH(Me)-$. Herein, the preferred stereochemistry at the chiral center is the one giving the (S) configuration in the final compound.

Further preferred are compounds of Formula (I), wherein R4 is a group of the formula -NHCOCH=CHAryl, -OHeteroaryl (especially -oxa-3-oxazol), $-NHSO_2Me$, -NHCOOMe, $NHCS_2Me$, $NHCSNH_2$, -NHCSOMe or -NHCOMe.

Especially preferred are compounds of Formula (I), wherein R4 is an acetylamino group.

Further preferred are compounds of Formula (I), wherein the absolute configuration at C-5 of the oxazolidinone ring is (S) according to the Cahn-Ingold-Prelog nomenclature system.

Moreover preferred are compounds of Formula (I), wherein R5 is H, F, Cl or a methoxy group which may be substituted by one, two or three fluorine atoms or a CF_3 group.

Further preferred are compounds of formula (I), wherein X is N or CH.

Further preferred are compounds of Formula (I), wherein Y is N or CF (especially CF).

Further preferred are compounds of Formula (I), wherein n is 0.

Further preferred are compounds of Formula (I), wherein A is a bond.

Further preferred are compounds of Formular (I), wherein A is a group of the formula

$$-B_{0-1}-\left[D-E_{0-1}\right]_m-G_{0-1}-K_{0-1}-$$

wherein

the group B is NH, O, S, SO, SO_2, SO_2NH, an alkylene, which may be substituted by one, two or more fluorine

atoms or a heteroalkylen group, which may be substituted by one, two or more fluorine atoms and/or at the optionally present nitrogen atoms by an alkyl or an acyl group;

the groups D independently of each other are optionally anellated heterocycloalkylen groups with 1, 2, 3 or 4 nitrogen atoms, which heterocycloalkylen groups may each be substituted by one, two or more fluorine atoms and/or which each may be substituted at one, two, three or four nitrogen atoms by an alkyl or an acyl group;

the groups E independently of each other are NH, O, S, SO, SO_2, SO_2NH, an alkylene, which may be substituted by one, two or more fluorine atoms or a heteroalkylen group, which may be substituted by one, two or more fluorine atoms and/or at the optionally present nitrogen atoms by an alkyl or an acyl group;

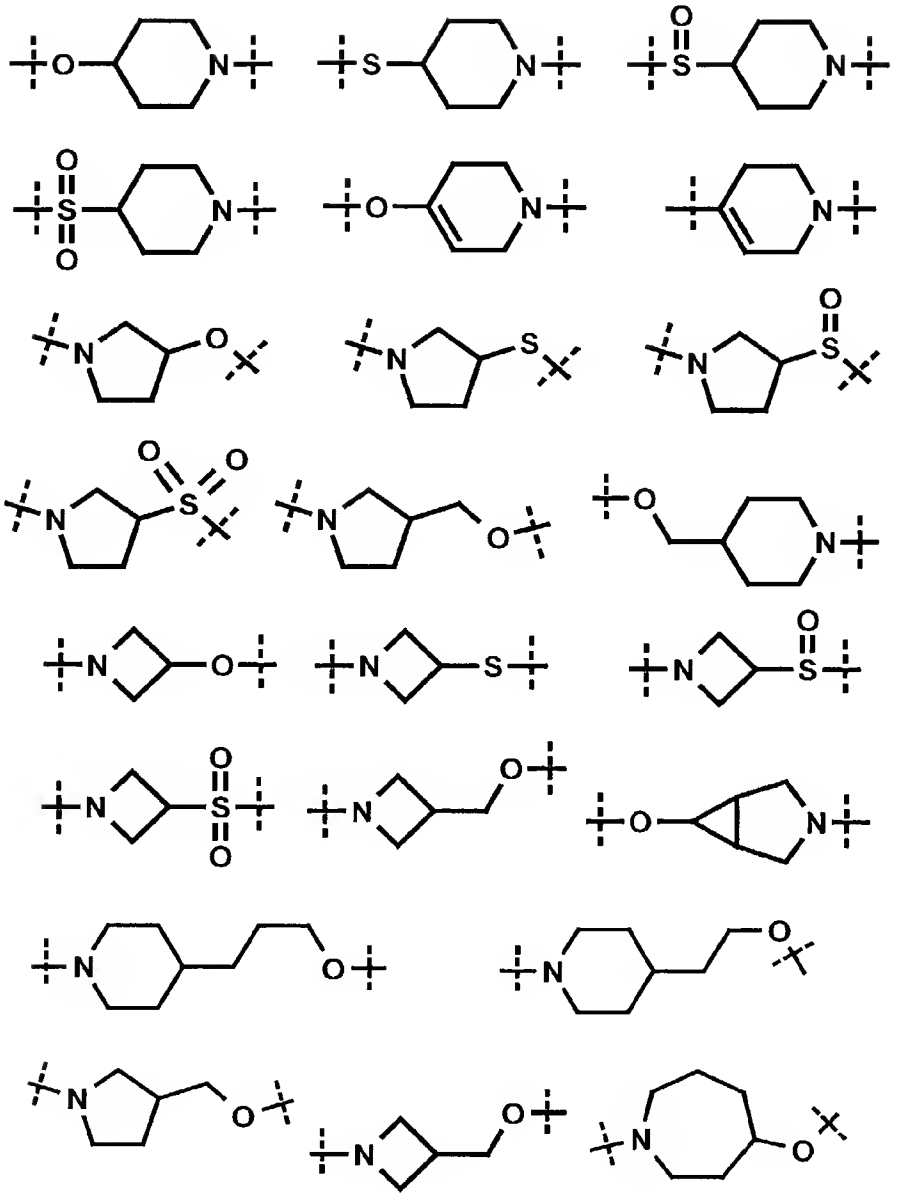
the groups G independently of each other are optionally anellated heterocycloalkylen groups with 1, 2, 3 or 4 nitrogen atoms, which heterocycloalkylen groups may each be substituted by one, two or more fluorine atoms and/or which each may be substituted at one, two, three or four nitrogen atoms by an alkyl or an acyl group;

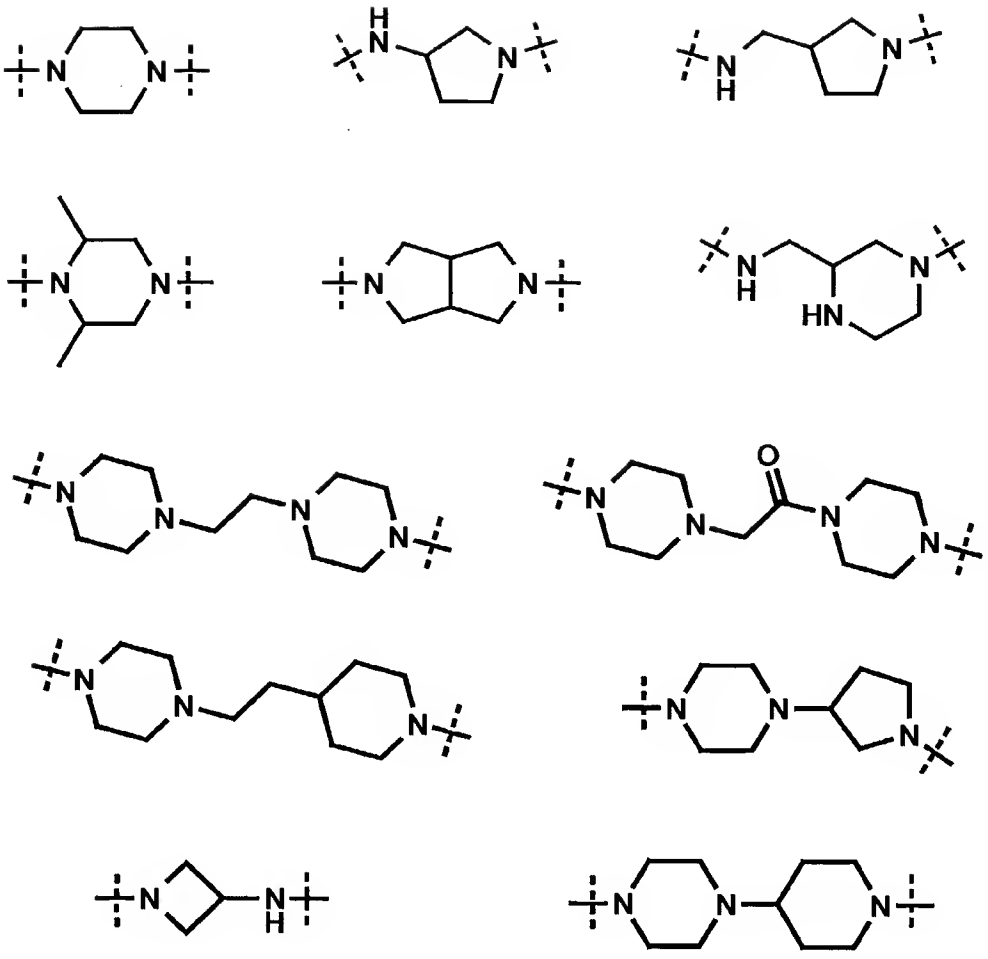
the group K is NH, O, S, SO, SO_2, SO_2NH, an alkylene, which may be substituted by one, two or more fluorine atoms or a heteroalkylen group, which may be substituted by one, two or more fluorine atoms and/or at the optionally present nitrogen atoms by an alkyl or an acyl group; and m = 1,2,3 or 4.

Moreover preferred are compounds of Formula (I), wherein A is a cycloalkylen or a alkylcycloalkylen group

that contains 2, 3 or 4 heteroatoms (preferred O, N and S) and may be substituted by one, two or more fluorine atoms and the nitrogen atoms may be substituted by an alkyl or an acyl group.

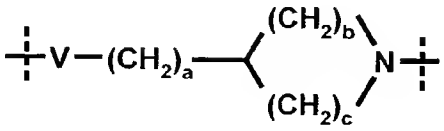
Further preferred are compounds of Formula (I), wherein A is selected from the following groups which may be further substituted by one, two or more fluorine atoms or by an alkyl group which may be substituted by one, two or more fluorine atoms, and wherein the amino groups may be substituted by an alkyl or an acyl group:





Moreover preferred are compounds of Formula (I), wherein A is a group of the formula -V-W-, wherein V is a direct bond or a group of the formula NH, O, S, SO, SO_2, SO_2NH, PO_4, -NH-CO-NH-, -CO-NH-, -CO-, $-CH_2-$, -CO-O-, $-(CH_2)_{1-3}-O-$, -CH=CH-C(O)-, or -NH-CO-O- and W is a heterocycloalkyl group with 4 to 7 ring atoms or a alkylheterocycloalkyl group with 4 to 7 ring atoms and 1 to 4 carbon atoms in the alkyl chain; all these groups may be substituted by 1, 2, 3 or 4 fluorine atoms, methyl or methoxy groups.

Further preferred are compounds of Formula (I), wherein A is a group of the formula



wherein V is a group of the formula NH, O, S, SO, SO_2, SO_2NH, PO_4, -NH-CO-NH-, -CO-NH-, -CO-, $-CH_2-$, -CO-O-, $-(CH_2)_{1-3}-O-$, -CH=CH-C(O)-, or -NH-CO-O-; a is 0, 1, 2, 3 or 4; b is 0, 1, 2, 3 or 4; c is 0, 1, 2, 3 or 4 and 1, 2, 3 or 4 hydrogen atoms may be substituted by F, a methyl- or a methoxy group.

Moreover preferred are compounds as described here, wherein V is NH, O, S, SO or SO_2.

Especially preferred are compounds as described here, wherein V is O or NH; a is 0 or 1; b is 1 or 2 and c is 1 or 2.

Moreover preferred are compounds as described here, wherein A is a group of the formula OCH_2Het, wherein Het is an optionally substituted heterocycloalkylen group with 4, 5, 6 or 7 ring atoms.

The present invention also relates to pharmacologically acceptable salts, or solvates and hydrates, respectively, and to compositions and formulations of compounds of Formula (I). The present invention describes procedures to produce pharmaceutically useful agents, which contain these compounds, as well as the use of

these compounds for the production of pharmaceutically useful agents.

The pharmaceutical compositions according to the present invention contain at least one compound of Formula I as the active agent and optionally carriers and/or diluents and/or adjuvants. Optionally the pharmaceutical compositions according to the present invention may also contain additional known antibiotics.

Examples of pharmacologically acceptable salts of sufficiently basic compounds of Formula (I) are salts of physiologically acceptable mineral acids like hydrochloric, hydrobromic, sulfuric and phosphoric acid; or salts of organic acids like methanesulfonic, p-toluenesulfonic, lactic, acetic, trifluoroacetic, citric, succinic, fumaric, maleic and salicylic acid. Further, a sufficiently acidic compound of Formula (I) may form alkali or earth alkaline metal salts, for example sodium, potassium, lithium, calcium or magnesium salts; ammonium salts; or organic base salts, for example methylamine, dimethylamine, trimethylamine, triethylamine, ethylenediamine, ethanolamine, choline hydroxide, meglumin, piperidine, morpholine, tris-(2-hydroxyethyl)amine, lysine or arginine salts. Compounds of Formula (I) may be solvated, especially hydrated. The hydratisation can occur during the process of production or as a consequence of the hygroscopic nature of the initially water free compounds of Formula (I). The compounds of Formula (I) contain asymmetric C-atoms and may be present either as achiral compounds, mixtures of diastereomers, mixtures of enantiomers or as optically pure compounds.

The present invention also relates to pro-drugs which are composed of a compound of Formula (I) and at least one pharmacologically acceptable protective group which will be cleaved off under physiological conditions, such as an alkoxy-, aralkyloxy-, acyl-, acyloxymethyl group (e.g. pivaloyloxymethyl), an 2-alkyl-, 2-aryl- or 2-aralkyl-oxycarbonyl-2-alkylidene ethyl group or an acyloxy group as defined herein, e.g. ethoxy, benzyloxy, acetyl or acetyloxy or especially for a hydroxy group (ROH) a sulfate, a phosphate ($ROPO_3$ or $ROCH_2OPO_3$) or an ester of an amino acid.

As mentioned above, therapeutically useful agents that contain compounds of Formula (I), their solvates, salts or formulations are also comprised in the scope of the present invention. In general, compounds of Formula (I) will be administered by using the known and acceptable modes known in the art, either alone or in combination with any other therapeutic agent. Such therapeutically useful agents can be administered by one of the following routes: oral, e.g. as tablets, dragees, coated tablets, pills, semisolids, soft or hard capsules, for example soft and hard gelatine capsules, aqueous or oily solutions, emulsions, suspensions or syrups, parenteral including intravenous, intramuscular and subcutaneous injection, e.g. as an injectable solution or suspension, rectal as suppositories, by inhalation or insufflation, e.g. as a powder formulation, as microcrystals or as a spray (e.g. liquid aerosol), transdermal, for example via an transdermal delivery system (TDS) such as a plaster containg the active ingredient or intranasal. For the production of such tablets, pills, semisolids, coated tablets, dragees and hard, e.g.

gelatine, capsules the therapeutically useful product may be mixed with pharmaceutically inert, inorganic or organic excipients as are e.g. lactose, sucrose, glucose, gelatin, malt, silica gel, starch or derivatives thereof, talc, stearinic acid or their salts, dried skim milk, and the like. For the production of soft capsules one may use excipients as are e.g. vegetable, petroleum, animal or synthetic oils, wax, fat, polyols. For the production of liquid solutions, emulsions or suspensions or syrups one may use as excipients e.g. water, alcohols, aqueous saline, aqueous dextrose, polyols, glycerin, lipids, phospholipids, cyclodextrins, vegetable, petroleum, animal or synthetic oils. Especially preferred are lipids and more preferred are phospholipids (preferred of natural origin; especially preferred with a particle size between 300 to 350 nm) preferred in phosphate buffered saline (pH = 7 to 8, preferred 7.4). For suppositories one may use excipients as are e.g. vegetable, petroleum, animal or synthetic oils, wax, fat and polyols. For aerosol formulations one may use compressed gases suitable for this purpose, as are e.g. oxygen, nitrogen and carbon dioxide. The pharmaceutically useful agents may also contain additives for conservation, stabilisation, e.g. UV stabilizers, emulsifiers, sweetener, aromatisers, salts to change the osmotic pressure, buffers, coating additives and antioxidants.

A daily dosage per patient of about 1 mg to about 4000 mg especially about 50 mg to 3 g is usual with those of ordinary skill in the art appreciating that the dosage will depend also upon the age, conditions of the mammals, and the kind of diseases being treated or prevented. The daily dosage can be administrated in a single dose or can

be divided over several doses. An average single dose of about 50 mg, 100 mg, 250 mg, 500 mg, 1000 mg and 2000 mg can be contemplated.

The invention also relates to a method of treating a disorder selected from a bacterial infection, a protozoal infection, and disorders related to bacterial infections or protozoal infections, in a mammal, fish, or bird which comprises administering to the mammal, fish or bird a combination comprising a compound of formula I and another antibiotic, wherein the amounts of the compound and of the other antibiotic are together therapeutically effective in treating the disorder. In further embodiments, the compound of the invention may administered prior to, with or after the other antibiotic. Examples of suitable other antibiotics include, but are not limited to, beta-lactams, vancomycin, aminoglycosides, quinolones, chloramphenicol, tetracyclines and macrolides.

The term "treating", as used herein, unless otherwise indicated, means reversing, alleviating, inhibiting the progress of, or preventing the disorder or condition to which such term applies, or one or more symptoms of such disorder or condition. The term "treatment", as used herein, refers to the act of treating, as "treating" is defined immediately above.

As used herein, unless otherwise indicated, the terms or phrases "infection(s)", "bacterial infection(s)", "protozoal infection(s)", and "disorders related to bacterial infections or protozoal infections" include the following: pneumonia, otitis media,

sinusitus, bronchitis, tonsillitis, and mastoiditis related to infection by Streptococcus pneumoniae, Haemophilus *influenzae, Moraxella catarrhalis, Staphylococcus aureus, Enterococcus faecalis, E. faecium, E. casselflavus, S. epidermidis, S. haemolyticus,* or *Peptosfreptococcus* spp.; pharyngitis, rheumatic fever, and glomerulonephritis related to infection by *Streptococcus pyogenes,* Groups C and G streptococci, *Corynebacferium diphtheriae,* or *Acfinobacillus haemolyticum;* respiratory tract infections related to infection by *Mycoplasma pneumoniae, Legionella pneumophila, Streptococcus pneumoniae, Haemophilus influenzae,* or *Chlamydia pneumoniae;* blood and tissue infections, including endocarditis and osteomyelitis, caused by *S. aureus, S. haemolyficus, E. faecalis, E. faecium, E. durans,* including strains resistant to known antibacterials such as, but not limited to, beta-lactams, vancomycin, aminoglycosides, quinolones, chloramphenicol, tetracyclines and macrolides; uncomplicated skin and soft tissue infections and abscesses, and puerperal fever related to infection by *Staphylococcus aureus,* coagulase-negative staphylococci (i.e., *S. epidermidis, S. hemolyticus,* etc.), *Streptococcus pyogenes , Streptococcus agalactiae,* Streptococcal groups C-F (minute colony streptococci), viridans streptococci, *Corynebacterium minutissimum, Closfridium* spp., or *Bartonella henselae;* uncomplicated acute urinary tract infections related to infection by *Staphylococcus aureus,* coagulase-negative staphylococcal species, or *Enterococcus* spp.; urethritis and cervicitis; sexually transmitted diseases related to infection by *Chlamydia trachomatis, Haemophilus ducreyi, Treponema pallidurn, Ureaplasma urealyticum,* or *Neiserria gonorrheae;* toxin

diseases related to infection by *S. aureus* (food poisoning and toxic shock syndrome), or Groups A, B, and C streptococci; ulcers related to infection by *Helicobacter pylori;* systemic febrile syndromes related to infection by *Borrelia recurrentis;* Lyme disease related to infection by *Borrelia burgdorferi;* conjunctivitis, keratitis, and dacrocystitis related to infection by *Chlamydia trachomatis, Neisseria gonorrhoeae, S. aureus, S. pneumoniae, S. pyogenes, H. influenzae,* or *Listeria* spp.; disseminated *Mycobacterium avium* complex (MAC) disease related to infection by *Mycobacterium avium,* or *Mycobacterium intracellulare;* infections caused by *Mycobacferium tuberculosis, M. leprae, M. paratuberculosis, M. kansasii,* or *M. chelonei;* gastroenteritis related to infection by *Campylobacter jejuni;* intestinal protozoa related to infection by *Cryptosporidium* spp.; odontogenic infection related to infection by viridans streptococci; persistent cough related to infection by *Bordetella pertussis;* gas gangrene related to infection by *Closfridium perfringens* or *Bacteroides* spp.; and atherosclerosis or cardiovascular disease related to infection by *Helicobacter pylori* or *Chlamydia pneumoniae.*

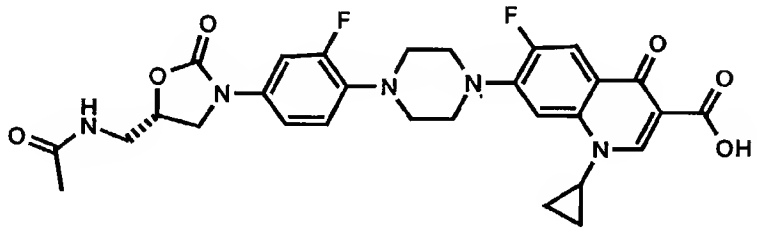
Preferred is the use of a compound according to formula (I) for the treatment of infections that are mediated by Gram-negative bacteria such as E. coli, Klebsiella pneumoniae and other enterobacteriaceae, Haemophilus influenzae, Moraxella catarrhalis, Acinetobacter spp., Stenothrophomonas maltophilia, Neisseria gonorrhoeae, Neisseria menigitidis, Helicobacter pylori, Campylobacter spp., Mycoplasma spp. and Legionella pneumophilia or Gram-positives such as

Bacillus cereus, Bacillus anthracis, Strep. pneumoniae, Corynebacterium spp., Propionibacterium acnes and Listeria monocytogenes.

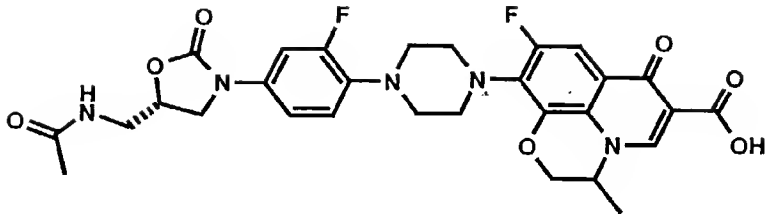
In the following the invention is described in more detail with reference to examples. These examples are intended for illustration only and are not to be construed as any limitation. The Examples werde synthesized according to the procedures described in WO03032962, WO03031443 and C. Hubschwerlen et al. Bioorg. Med. Chem. 2003, 11, 2313-2319.

Examples

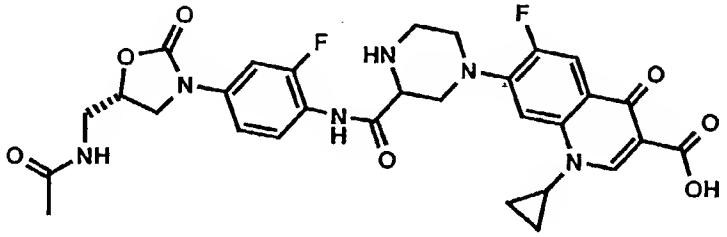
EXAMPLE 1: 7-(4-{4-[5-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



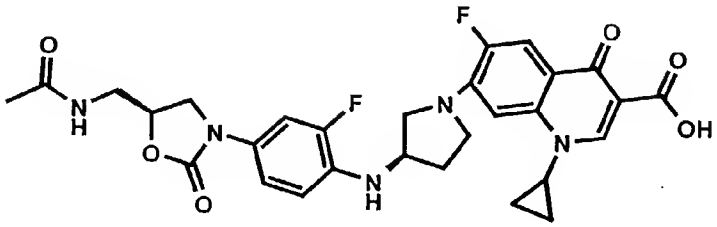
EXAMPLE 2: 9-(4-{4-[5-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



EXAMPLE 3: 7-((3R,S)-3-{4-[(5S)-5-(acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylcarbamoyl}-piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid.

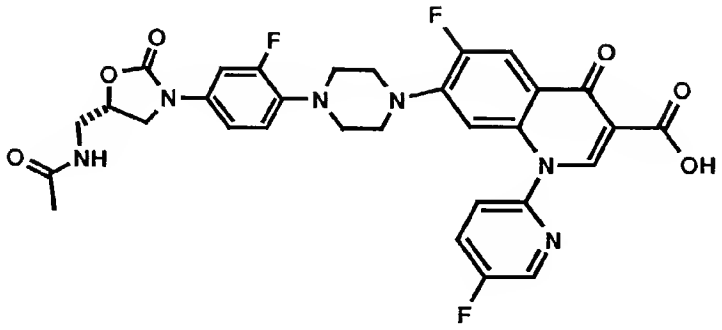


EXAMPLE 4: 7-[(3R)-3-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylamino}-pyrrolidin-1-yl]-1cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-1-carboxylic acid.

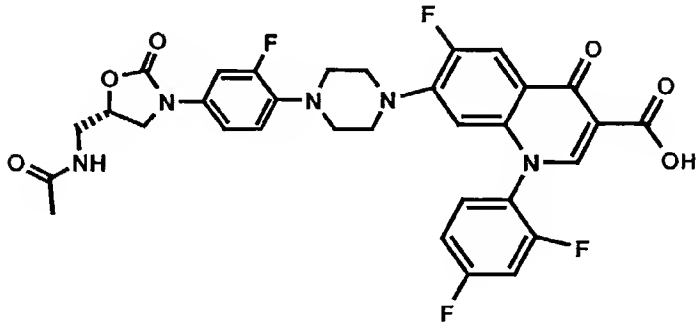


EXAMPLE 5: 7-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-6-

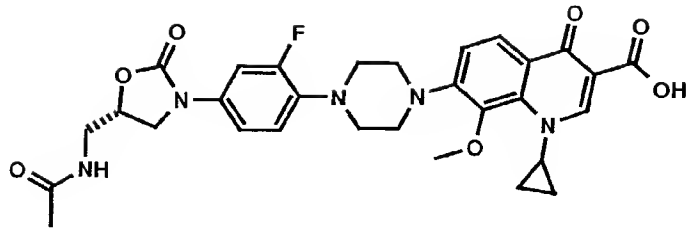
fluoro-1-(5-fluoro-pyridin-2-yl)-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



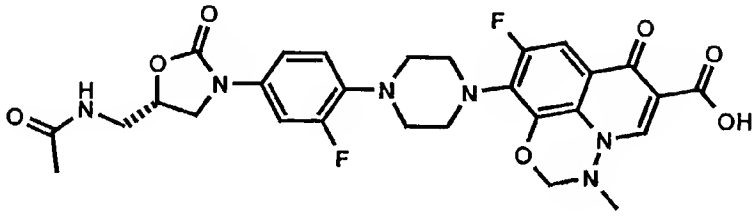
EXAMPLE 6: 7-(4-{(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-1-(2,4-difluoro-phenyl)-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



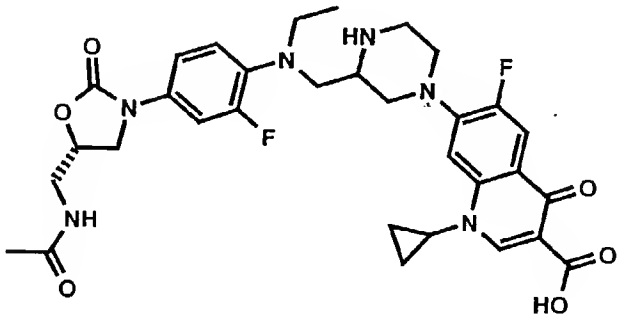
EXAMPLE 7: 7-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-1-cyclo-propyl-8-methoxy-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



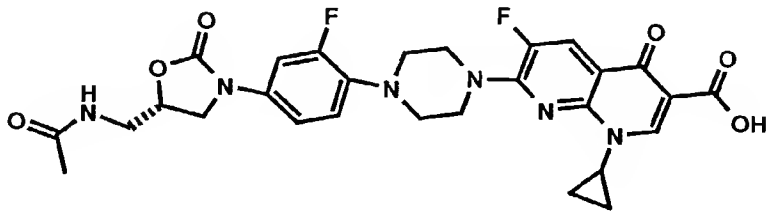
EXAMPLE 8: 9-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3,3a-diaza-phenalene-5-carboxylic acid:



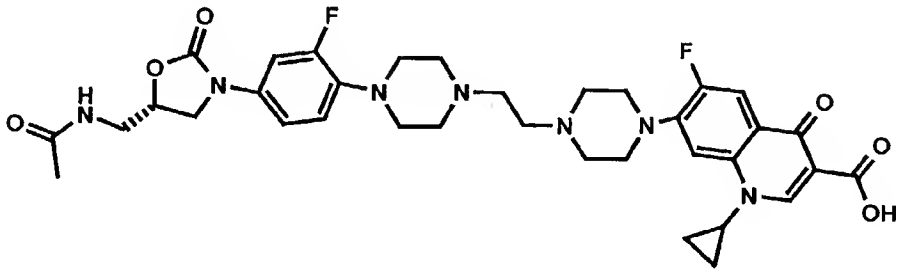
EXAMPLE 9: 7-{(3RS)-3-[({4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-ethyl-amino)methyl]-piperazin-1-yl}-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid.



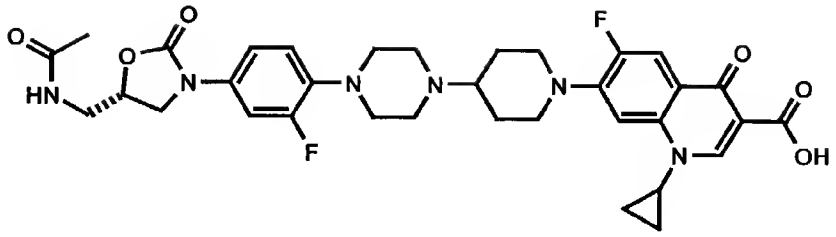
EXAMPLE 10: 7-(4-{[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



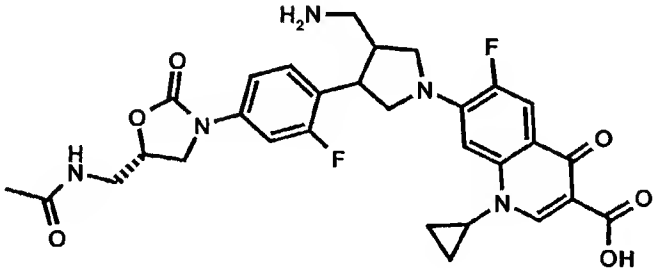
EXAMPLE 11: 7-{4-[2-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-ethyl]-piperazin-1-yl}-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



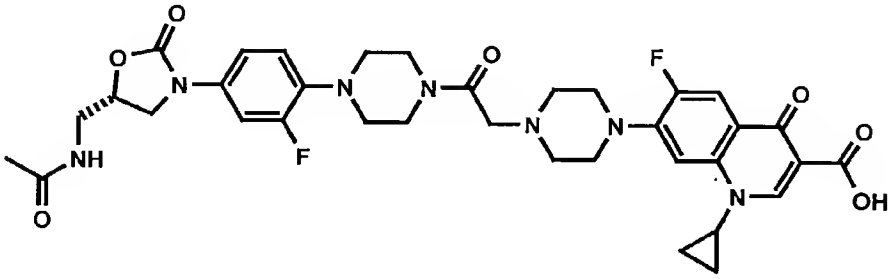
EXAMPLE 12: 7-[4-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



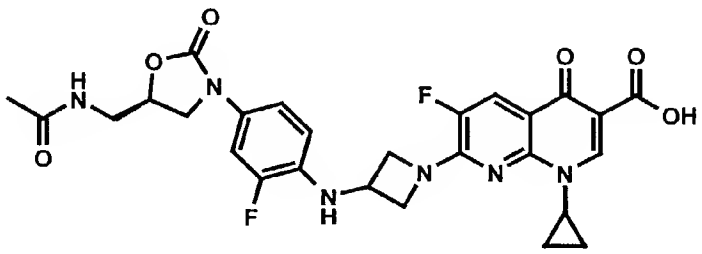
EXAMPLE 13: 7-[(3R, 4R) and (3S, 4S)-3-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-4-aminomethyl-pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinolin-3-carboxylic acid.



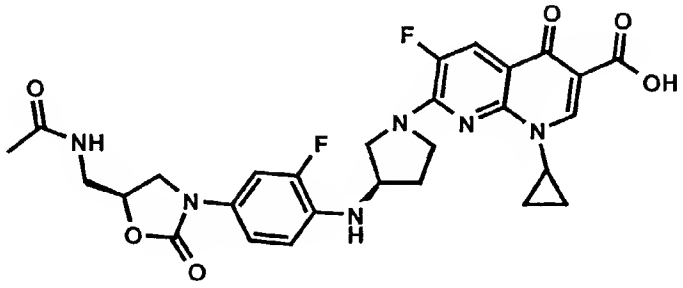
EXAMPLE 14: 7-{4-[2-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-2-oxo-ethyl]-piperazin-1-yl}-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinolone-3-carboxylic acid:



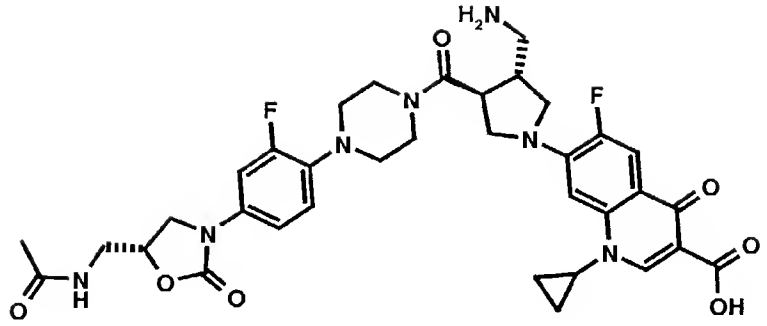
EXAMPLE 15: 7-(3-{4-[5(S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylamino}-azetidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



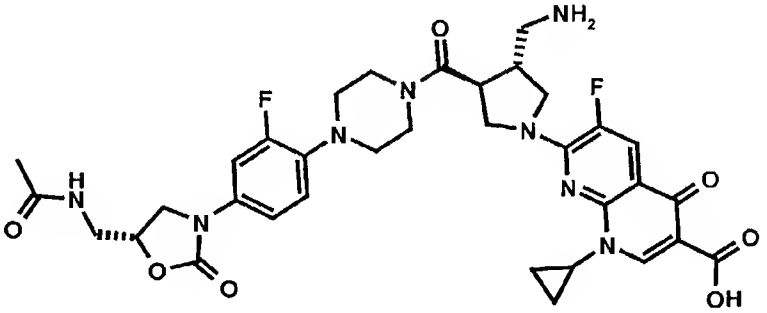
EXAMPLE 16: 7-[(3R)-3-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylamino}-pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]-naphthyridine-3-carboxylic acid:



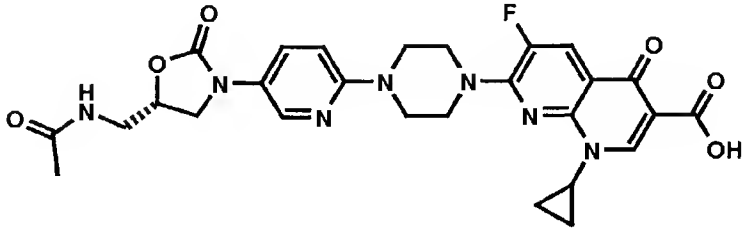
EXAMPLE 17: 7-[(3R, 4S) and (3S, 4R)-3-(-4{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}piperazine-1-carbonyl)-4-aminomethyl-pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline carboxylic acid



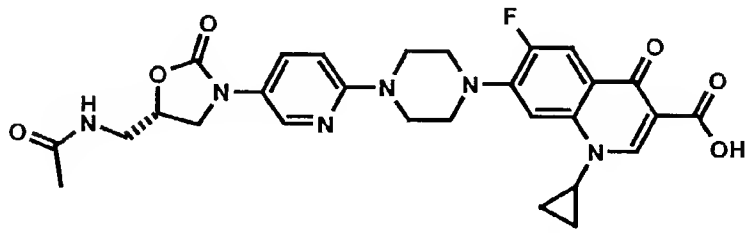
EXAMPLE 18: 7-[(3R, 4S) and (3S, 4R)-3-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazine-1-carbonyl)-4-aminomethyl-pyrrolidin-1-yl)1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid



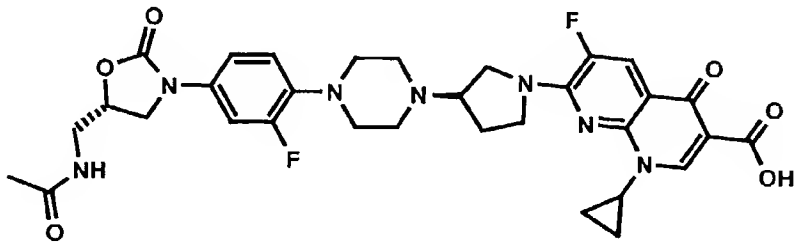
EXAMPLE 19: 7-(4-{5-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-pyridin-2-yl}-1-piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid



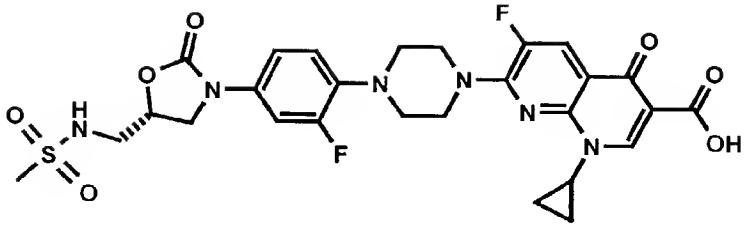
EXAMPLE 20: 7-(4-{5-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-pyridin-2-yl}-piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid.



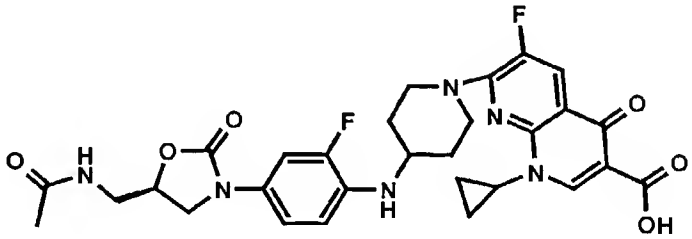
EXAMPLE 21: 7-[(3R)-3-(4-{4[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenyl}-piperazin-1-yl)-pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



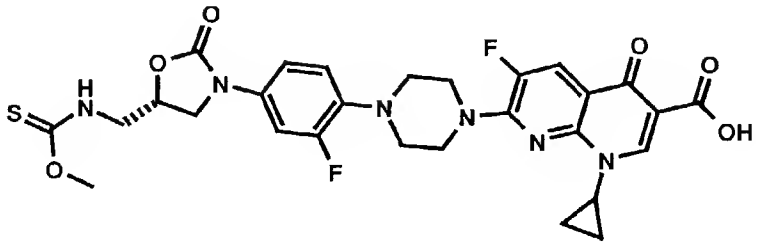
EXAMPLE 22: 1-Cyclopropyl-6-fluoro-7-(4-{2-fluoro-4-[(5R)-5-(methansulfonylamino-methyl)-2-oxo-oxazolidin-3-yl]-phenyl}-piperazin-1-yl)-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid.



EXAMPLE 23: 7-(4-{4-[(5S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylamino}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:

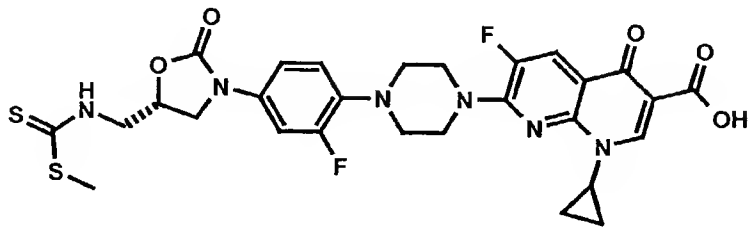


EXAMPLE 24: 1-Cyclopropyl-6-fluoro-7-(4-{2-fluoro-4-[(5S)-5-(methoxythiocarbonylamino-methyl)-2-oxo-oxazolidin-3-yl]-phenyl}-piperazin-1-yl)-4-oxo-1,4-dihydro-[1,8]-naphthyridine-3-carboxylic acid:

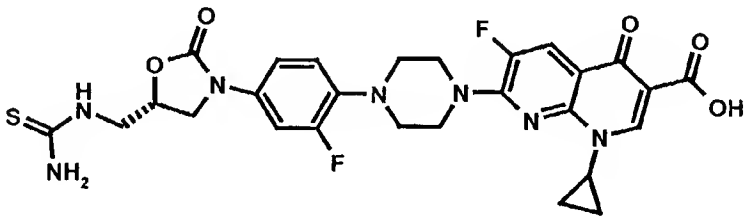


EXAMPLE 25: 1-Cyclopropyl-6-fluoro-7-(4-{2-fluoro-4-((5S)-5-(methylsulfanylthiocarbonylamino-methyl)-2-oxo-

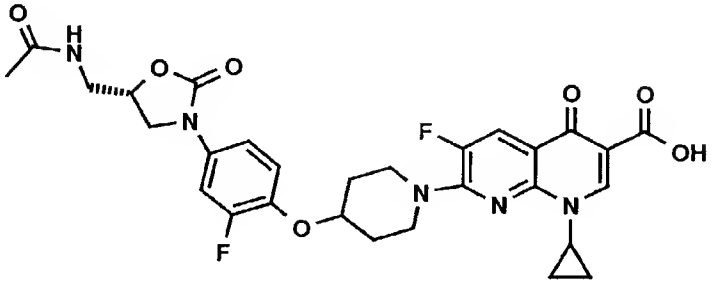
oxazolidin-3-yl]-phenyl}-piperazin-1-yl)-4-oxo-1,4dihydro-[1,8]naphthyridine-3-carboxylic acid:



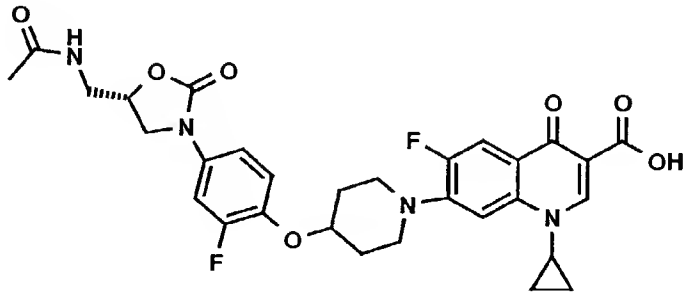
EXAMPLE 26: 1-Cyclopropyl-6-fluoro-{4-[2-fluoro-4-{(5S)-2-oxo-5-thioureidomethyl-oxazolidin-3-yl}-phenyl]-piperazin-1-yl}-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



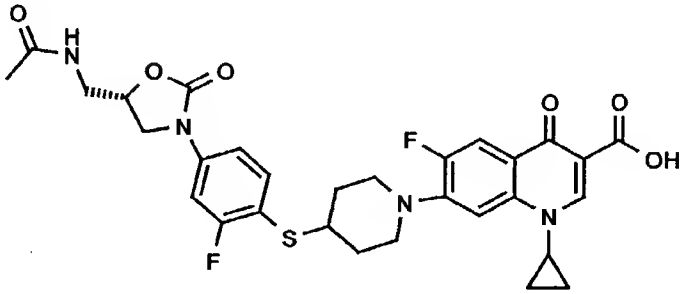
EXAMPLE 27: 7-(4-{4-[5(S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



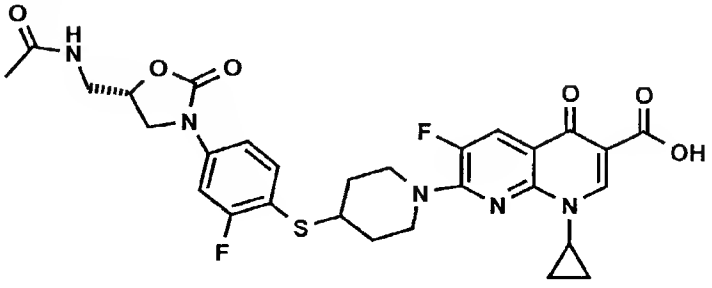
EXAMPLE 28: 7-(4-{4-[5(S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



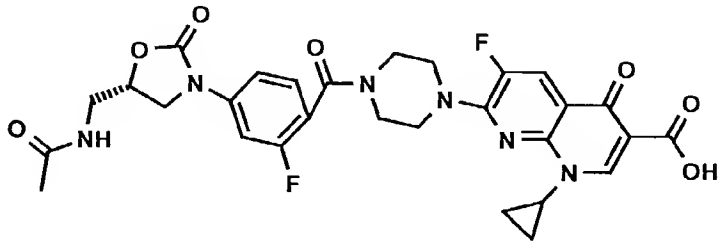
EXAMPLE 29: 7-(4-{4-[5(S)-5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylsulfanyl}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



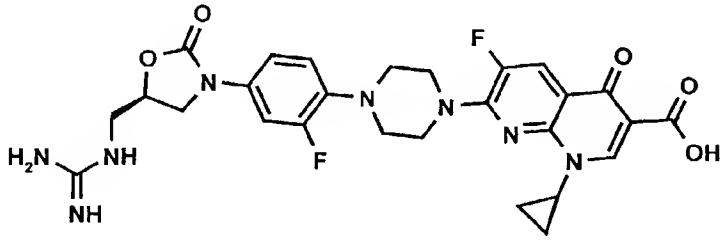
EXAMPLE 30: 7-(4-{4-[5(S)-5(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylsulfanyl}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



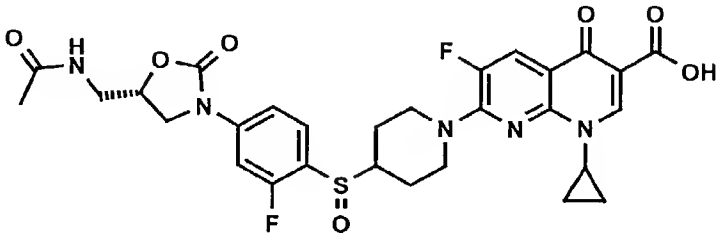
EXAMPLE 31: 7-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-benzoyl}-piperazin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



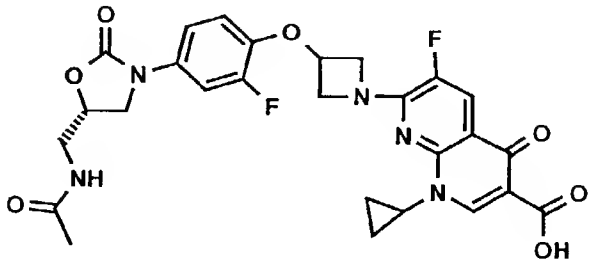
EXAMPLE 32: 1-Cyclopropyl-6-fluoro-7-{4-[2-fluoro-4-(5-guanidinomethyl-2-oxo-oxazolidin-3-yl)-phenyl]-piperazin-1-yl}-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



EXAMPLE 33: 7-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-benzenesulfinyl}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:

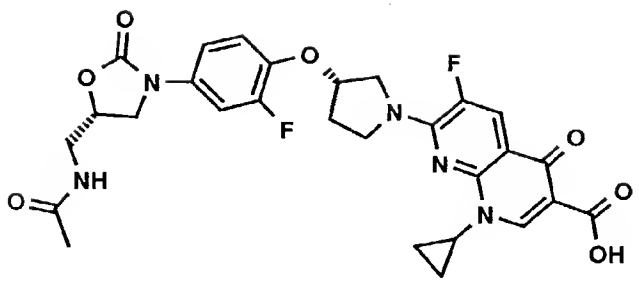


EXAMPLE 34: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-azetidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



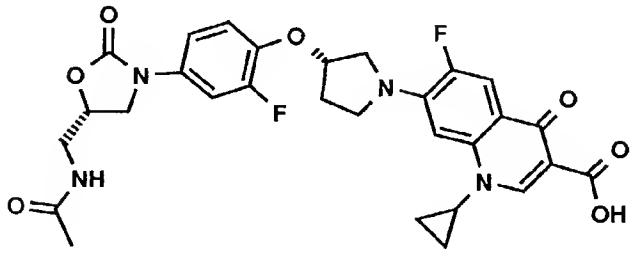
A suspension of 100 mg N-{(5S)-3-[4-(Azetidin-3-yloxy)-3-fluoro--phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 323.32, 0.31 mmol), 73 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.25 mmol) ,0.066 ml trimethylchlorosilane (MW:108.64, d=0.859, 0.51 mmol) and 0.108 ml triethylamine (MW:101.19, d=0.726, 0.77 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography. Yield: 55 mg, 30 %. MS: 570.5 $(M+H)^+$, Method ESI^+. Molecular Weight =570

EXAMPLE 35: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



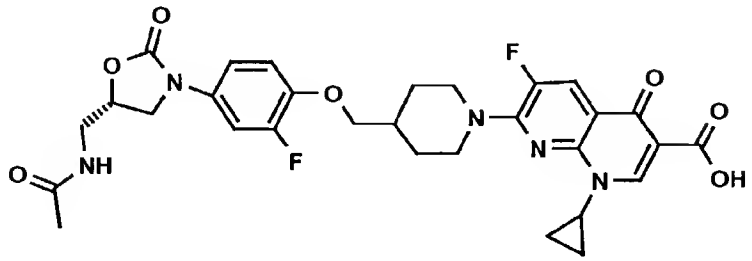
A suspension of 185 mg N-{(5S)-3-[-3-fluoro-4{3-(S)-(pyrrolidin-3-yloxy)}-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (337.35, 0.55 mmol), 141 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.5 mmol) ,0.126 ml trimethylchlorosilane (MW:108.64, d=0.859, 1 mmol) and 0.209 ml triethylamine (MW:101.19, d=0.726, 1.5 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography. Molecular Weight =584; Yield: 140 mg, 48 %; MS: 584.5 $(M+H)^+$, Method ESI^+.

EXAMPLE 36: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:

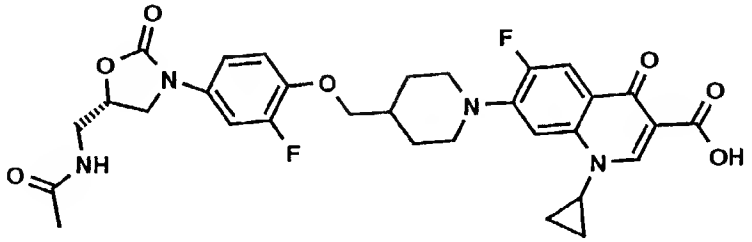


EXAMPLE 37: 7-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-piperidin-1-yl)-

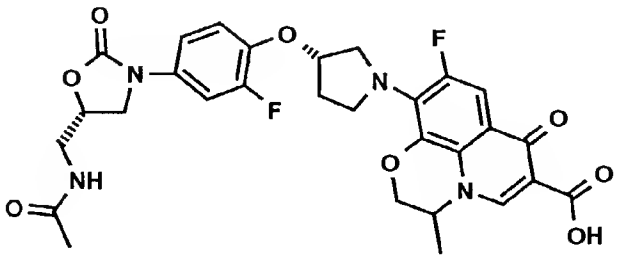
1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



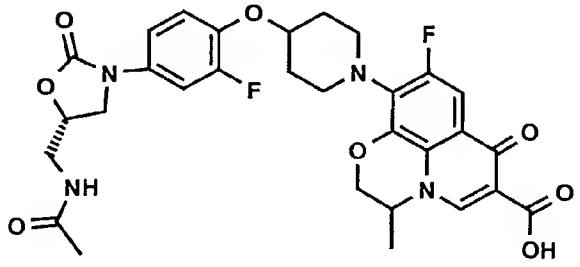
EXAMPLE 38: 7-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



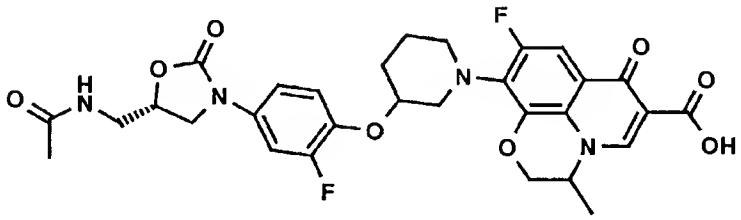
EXAMPLE 39: 9-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-pyrrolidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



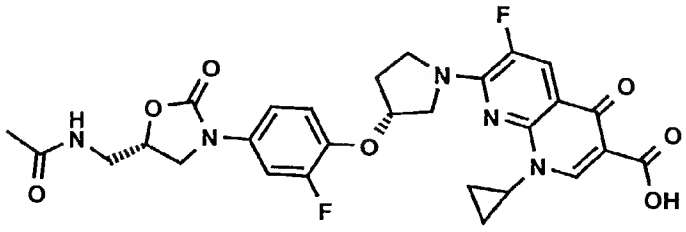
EXAMPLE 40: 9-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-piperidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



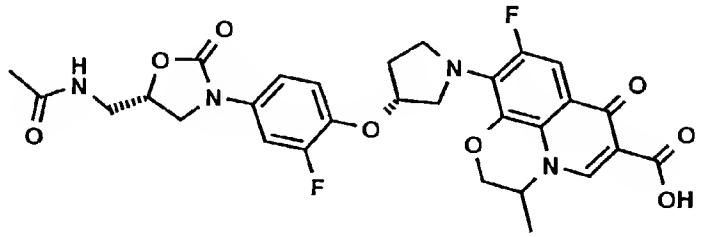
EXAMPLE 41: 9-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-piperidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



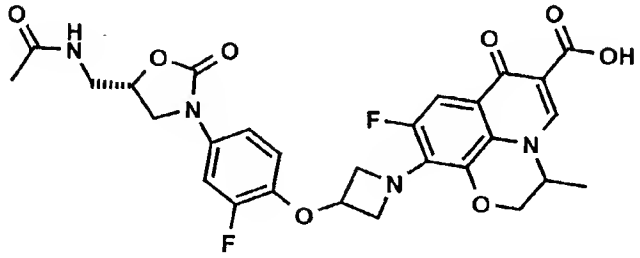
EXAMPLE 42: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



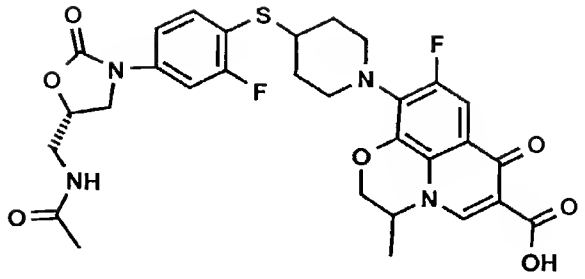
EXAMPLE 43: 9-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-pyrrolidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



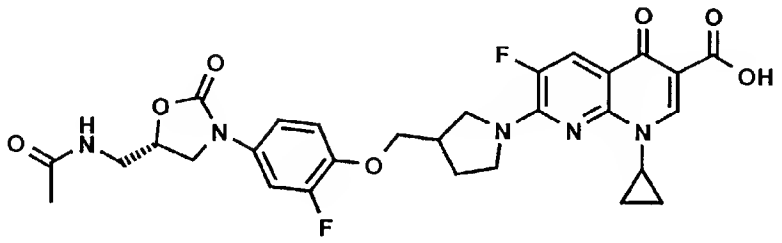
EXAMPLE 44: 9-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-azetidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



EXAMPLE 45: 9-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenylsulfanyl}-piperidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



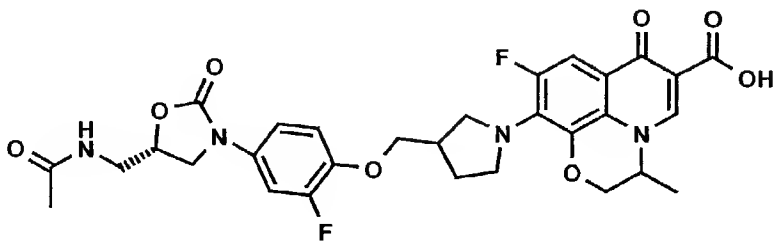
EXAMPLE 46: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



A suspension of 179 mg N-{(5S)-3-[3-fluoro- 4-[3-(RS)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 0.55 mmol), 141 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.5 mmol), 0.128 ml trimethylchlorosilane (MW:108.64, d=0.859, 1.0 mmol) and 0.200 ml triethylamine (MW:101.19, d=0.726, 1.5 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography. Yield: 241 mg, 81 %. MS: 598.5 $(M+H)^+$, Method ESI^+. Molecular Weight =598.

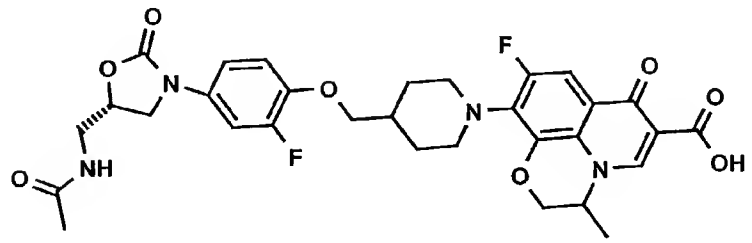
EXAMPLE 47: 9-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-

yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:

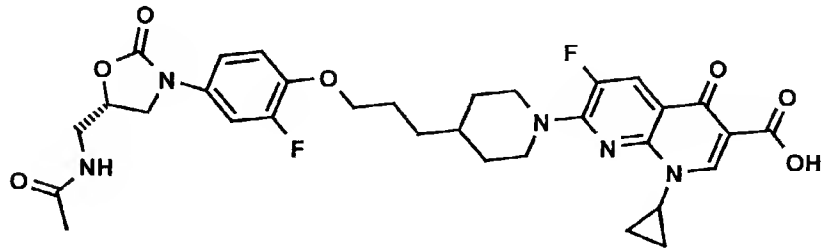


A suspension of 179 mg N-{(5S)-3-[3-fluoro- 4-[3-(RS)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 0.55 mmol), 140 mg 9-10-difluoro-2,3-dihydro-3-methyl-7-oxo-7H-pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxilic acid (MW: 281.21, 0.5 mmol), 0.128 ml trimethylchlorosilane (MW:108.64, d=0.859, 1.0 mmol) and 112 mg 1,4-diazabicyclo[2.2.2]octane (MW:112.18, 1.0 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by crystallisation. Yield: 161 mg, 52 %. MS: 613.5 $(M+H)^+$, Method ESI^+. Molecular Weight =613.

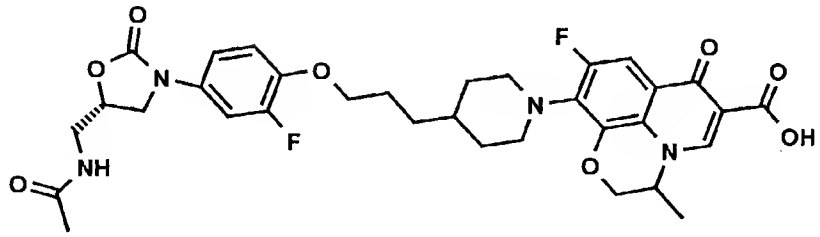
EXAMPLE 48: 9-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-piperidin-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



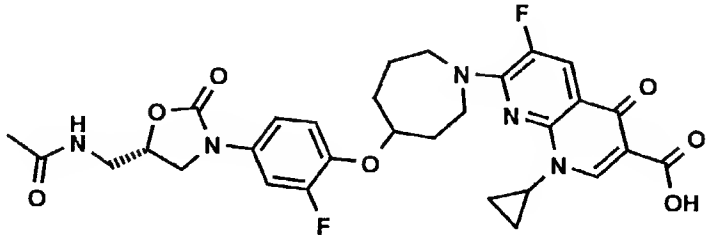
EXAMPLE 49: 7-[4-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-propyl)-piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



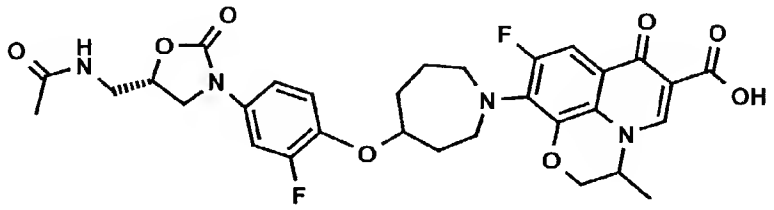
EXAMPLE 50: 9-[4-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-propyl)-piperidin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



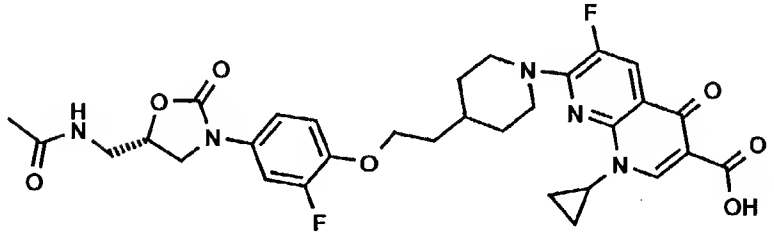
EXAMPLE 51: 7-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-azepan-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



EXAMPLE 52: 9-(4-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-azepan-1-yl)-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:

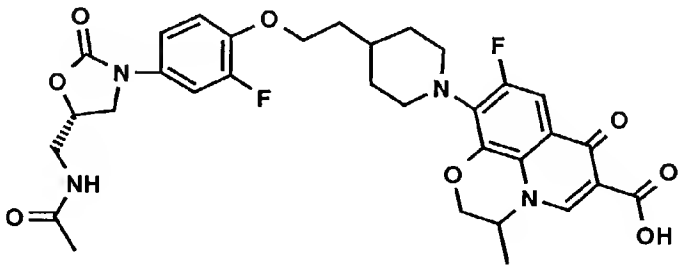


EXAMPLE 53: 7-[4-(2-{4-[(5S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethyl)-piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:

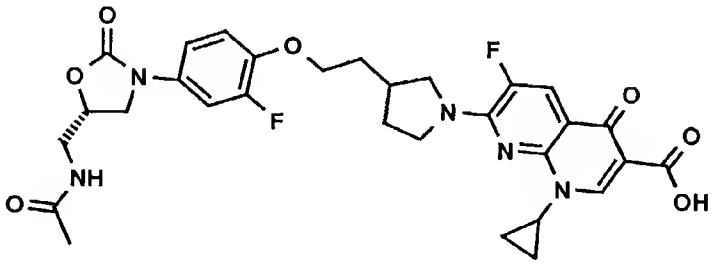


A suspension of 100 mg N-{(5S)-3-[3-fluoro- 4-[4-(piperazin-4-yl-ethoxy)]-phenyl]-2-oxo-oxazolidin-5-ylmethyl}-acetamide (MW: 379.43, 0.263 mmol), 68 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.239 mmol), 0.060 ml trimethylchlorosilane (MW:108.64, d=0.859, 0.47 mmol) and 0.1 ml triethylamine (MW:101.19, d=0.726, 0.71 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography. Yield: 30 mg, 20 %. MS: 626.5 $(M+H)^+$, Method ESI^+. Molecular Weight =626

EXAMPLE 54: 9-[4-(2-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethyl)-piperidin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



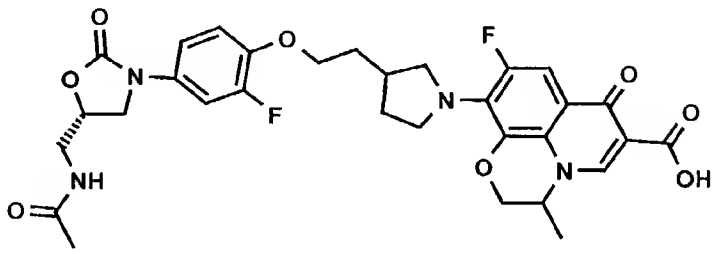
EXAMPLE 55: 7-[3(R,S)-(2-{4-[(5S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethyl)-pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



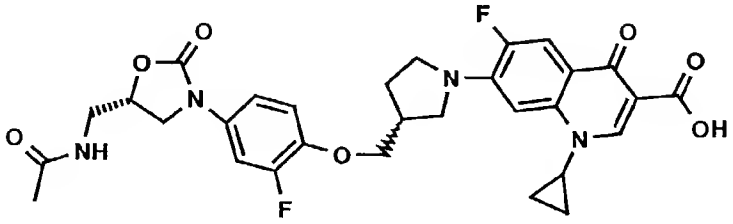
A suspension of 120 mg N-{(5S)-3-[3-fluoro- 4-[4(R,S)-4-(piperazin-4-yl-ethoxy)]-phenyl]-2-oxo-oxazolidin-5-ylmethyl}-acetamide (MW: 365.40, 0.33 mmol), 85 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.3 mmol), 0.075 ml trimethylchlorosilane (MW:108.64, d=0.859, 0.6 mmol) and 0.127 ml triethylamine (MW:101.19, d=0.726, 0.9 mmol) in 3 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, and the residue

dissolved in dichloromethane. The organic layer was washed with water and brine, dried over Mg sulfate, filtered and the filtrate evaporated. The residue was digested in ethyl acetate, the resulting colourless solid was filtered and dried. Yield: 159 mg, 86 %. Molecular Weight 612.

EXAMPLE 56: 9-[3-(2-{4-[5-(Acetylamino-methyl)- 2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethyl)-pyrrolidin-1-yl]-8-fluoro-3-methyl-6-oxo-2,3-dihydro-6H-1-oxa-3a-aza-phenalene-5-carboxylic acid:



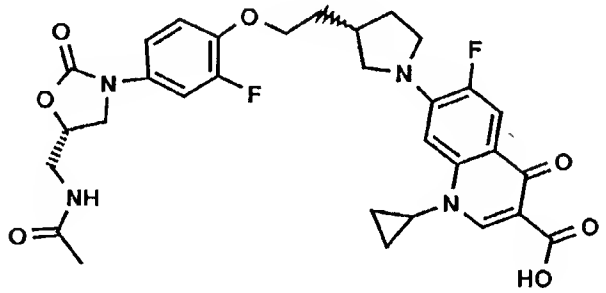
EXAMPLE 57: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



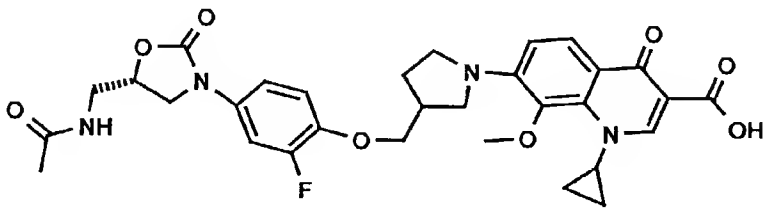
A suspension of 176 mg N-{(5S)-3-[3-fluoro- 4-[3-(RS)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 0.5 mmol), 205 mg 7-chloro- 6-fluoro-1-cyclopropyl-4-oxo-1,4-

dihydroquinoline-3-carboxylato-boron diacetate (MW: 409.56, 0.5 mmol), and 0.341 ml N-ethyldiisopropylamine (MW:129.25, d=0.755, 2 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography and crystallisation from ethanol. Yield: 120 mg, 40 %. MS: 597.5 $(M+H)^+$, Method ESI^+. Molecular Weight =597.

EXAMPLE 58: 7-[3-(2-{4-[5-(Acetylamino-methyl)- 2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethyl)-pyrrolidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



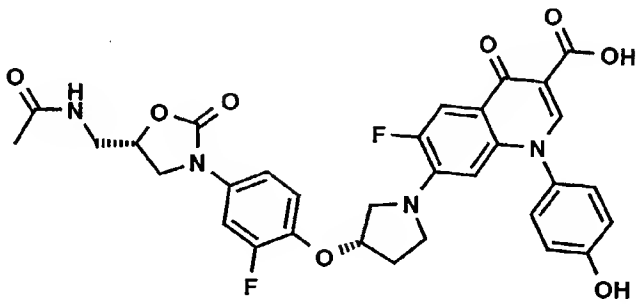
EXAMPLE 59: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-8-methoxy-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



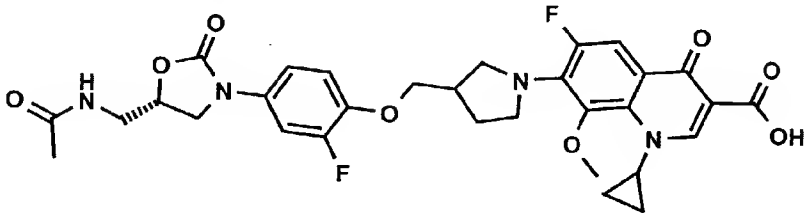
A suspension of 100 mg N-{(5S)-3-[3-fluoro-4-[3-(RS)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl

methyl}-acetamide (MW: 351.38, 0.284 mmol), 115 mg 1-cyclopropyl-7-fluoro-8-methoxy-4-oxo-1,4-dihydro-quinoline-3-carboxylatoboron diacetate (MW: 405.14, 0.284 mmol) and 0.097 ml N-ethyldiisopropylamine (MW:129.25, d=0.755, 0.57 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography and crystallisation from ethanol. Yield: 40 mg, 23 %. MS: 609.5 $(M+H)^+$, Method ESI^+. Molecular Weight =609.

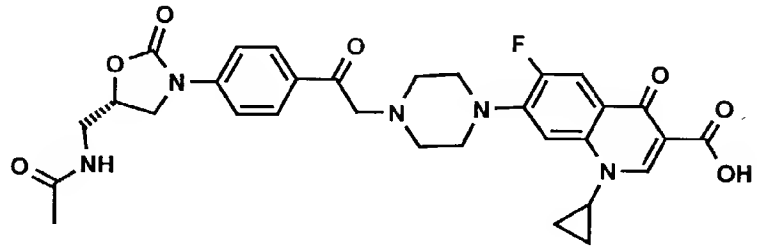
EXAMPLE 60: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-pyrrolidin-1-yl)-6-fluoro-1-(4-hydroxy-phenyl)-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



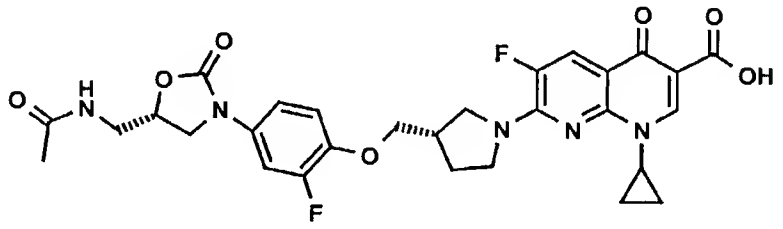
EXAMPLE 61: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-8-methoxy-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



EXAMPLE 62: 7-[4-(2-{4-[5-(Acetylamino-methyl)- 2-oxo-oxazolidin-3-yl]-phenyl}-2-oxo-ethyl)-piperazin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



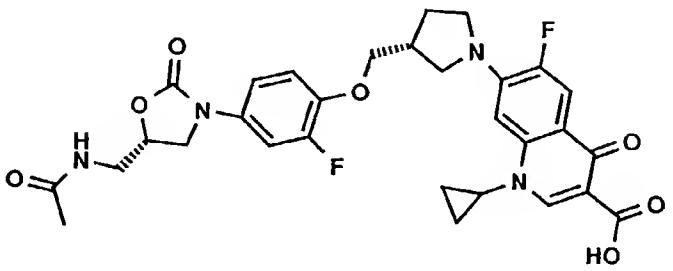
EXAMPLE 63: 7-(3(S)-{4-[5(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



A suspension of 737 mg N-{(5S)-3-[3-fluoro- 4-[3-(S)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 2.1 mmol), 566 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 2 mmol), 0.505 ml trimethyl-chlorosilane (MW:108.64, d=0.859, 4 mmol) and 0.840 ml triethylamine (MW:101.19, d=0.726, 6 mmol) in 15 ml N-methyl-pyrrolidin-2-one was heated under stirring at 150 °C for 2 hrs. The N-methyl-pyrrolidin-2-one was evaporated, and the residue dissolved in dichloromethane. The organic layer was washed with water and brine, dried over Mg sulfate, filtered and the filtrate evaporated. The residue was purified by

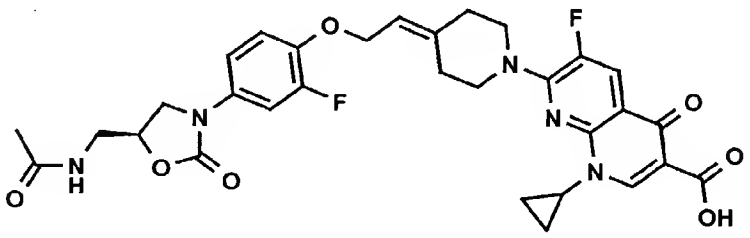
crystallisation from an ethanol and dichloromethane mixture. Yield: 972 mg, 81 %. MS: 598.5 $(M+H)^+$, Method ESI^+. Molecular Weight 598.

EXAMPLE 64: 7-(3(R)-{4-[5(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:

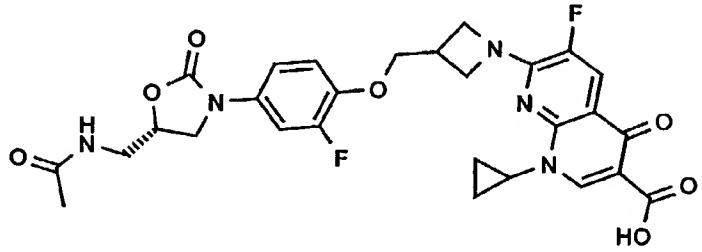


A suspension of 1.228 g N-{(5S)-3-[3-fluoro- 4-[3-(R)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 3 mmol), 1.054 g 7-chloro-6-fluoro-1-cyclopropyl-4-oxo-1,4-dihydroquinoline-3-carboxylato-boron diacetate (MW: 409.56, 3 mmol), and 2 ml N-ethyl-diisopropylamine (MW:129.25, d=0.755, 12 mmol) in 30 ml N-methyl-pyrrolidin-2-one was heated under stirring at 150 °C for 2 hrs. The N-methyl-pyrrolidin-2-one was evaporated, and the residue dissolved in dichloromethane. The organic layer was washed with 0.1N HCl and with brine, dried over Mg sulfate, filtered and the filtrate evaporated to dryness. The residue was digested in warm ethyl acetate, the crystals filtered (DC1). The solid was crystallised from ethanol. Yield: 728 mg, 41 %. MS: 597.5 $(M+H)^+$, Method ESI^+. Molecular Weight 597.

EXAMPLE 65: 7-[4-(2-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethylidene)-piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]-naphthyridine-3-carboxylic acid:



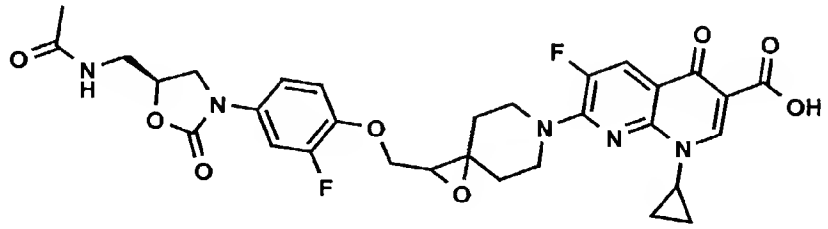
EXAMPLE 66: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-azetidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



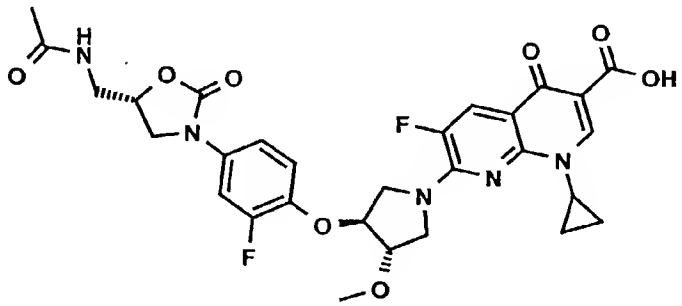
A suspension of 179 mg N-{(5S)-3-[4-(Azetidin-3-ylmethoxy)-3-fluoro--phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 337.35, 0.31 mmol), 100 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.25 mmol), 0.134 ml trimethylchlorosilane (MW:108.64, d=0.859, 1.059 mmol) and 0.197 ml triethylamine (MW:101.19, d=0.726, 1.41 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue

was purified by chromatography. Yield: 82 mg, 40 %. MS: 583.5 $(M+H)^+$, Method ESI^+. Molecular Weight =584

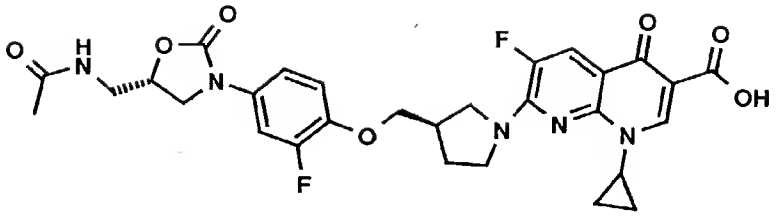
EXAMPLE 67: 7-(2-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-1-oxa-6-aza-spiro[2.5]oct-6-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:



EXAMPLE 68: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-4-methoxy-pyrrolidin-1-yl)-1-cyclo-propyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]-naphthyridine-3-carboxylic acid:

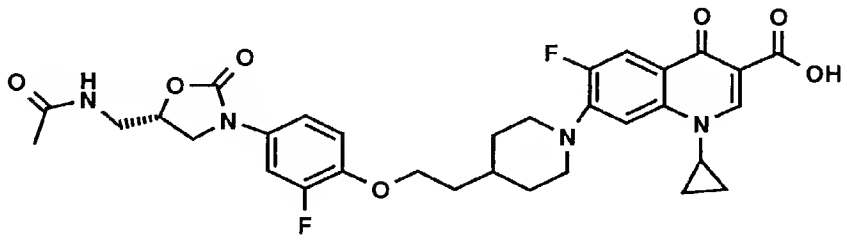


EXAMPLE 69: 7-(3(R)-{4-[5(S)-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxymethyl}-pyrrolidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:

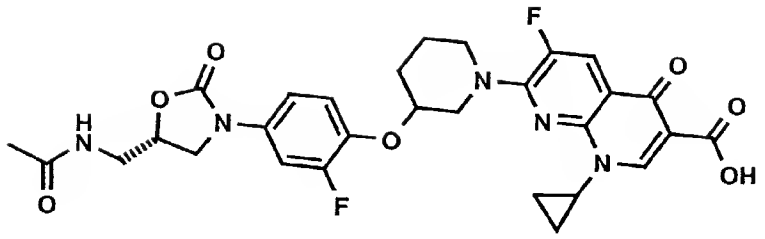


A suspension of 150 mg N-{(5S)-3-[3-fluoro-4-[3-(R)-(pyrrolidin-3-ylmethoxy)]-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 0.42 mmol), 100 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.35 mmol), 0.147 ml trimethyl-chlorosilane (MW: 108.64, d = 0.859, 1.16 mmol) and 0.216 ml triethylamine (MW:101.19, d=0.726, 1.54 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography. Yield: 150 mg, 60 %. MS: 598.5 $(M+H)^+$, Method ESI^+. Molecular Weight 598.

EXAMPLE 70: 7-[4-(2-{4-[5-(Acetylamino-methyl)- 2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-ethyl)-piperidin-1-yl]-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-quinoline-3-carboxylic acid:



EXAMPLE 79: 7-(3-{4-[5-(Acetylamino-methyl)-2-oxo-oxazolidin-3-yl]-2-fluoro-phenoxy}-piperidin-1-yl)-1-cyclopropyl-6-fluoro-4-oxo-1,4-dihydro-[1,8]naphthyridine-3-carboxylic acid:

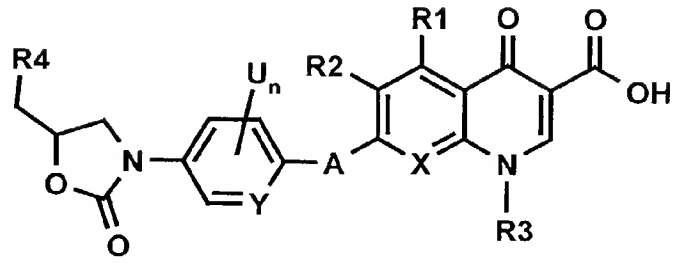


A suspension of 100 mg N-{(5S)-3-[3-fluoro-4-{3-(RS)-piperidin-3-yloxy}-phenyl]-2-oxo-oxazolidin-5-yl methyl}-acetamide (MW: 351.38, 0.28 mmol), 67 mg 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-Naphthyridine-3-carboxylic acid (MW: 282.66, 0.23 mmol), 0.060 ml trimethylchlorosilane (MW:108.64, d=0.859, 0.47 mmol) and 0.10 ml triethylamine (MW:101.19, d=0.726, 0.71 mmol) in 2 ml N-methyl-pyrrolidin-2-one was heated under stirring in a micro wave oven at 150 °C for 7 min. The N-methyl-pyrrolidin-2-one was evaporated, the residue was purified by chromatography. Yield: 60 mg, 42 %. MS: 598.5 $(M+H)^+$, Method ESI^+.

The compounds that were tested against several strains of *B. anthracis* showed MIC´s below 0.03µg/ml.

Claims

1. Use of a compound of Formula (I):



(I)

wherein

A is a bond, a NH, O, S, SO, SO_2, SO_2NH, PO_4, -NH-CO-NH-, -CO-NH-, -CO-, -CO-O-, -NH-CO-O-, an alkylen group, an alkenylen group, an alkinylen group, a heteroalkylen group, an arylen group, a heteroarylen group, a cycloalkylen group, a heterocycloalkylen group, an alkylarylen group or a heteroarylalkylen group or a combination of two or more of these atoms or groups;

X is CR5 or N;

Y is CR6 or N;

U is F or Cl;

n is 0, 1, 2 or 3;

R1 is H, F, Cl, Br, I, OH, NH_2, an alkyl group or a heteroalkyl group;

R2 is H, F or Cl;

R3 is H, an alkyl group, an alkenyl group, an alkinyl group, a heteroalkyl group, a cycloalkyl group, a heterocycloalkyl group, an aryl group, a heteroaryl group, an alkylaryl group or a heteroarylalkyl group;

R4 is a heteroalkyl group, a cycloalkyl group, a heterocycloalkyl group, an aryl group, a heteroaryl group, an alkylaryl group or a heteroarylalkyl group;

R5 is H, F, Cl, OH, NH_2, an alkyl group or a heteroalkyl group, or

R3 and R5 can be linked via an alkylen, an alkenylen or a heteroalkylen group or be a part of a cycloalkylen or heterocyclo-alkylen group; in case R3 is no H and R5 is no H, F, OH, NH_2 or Cl;

R6 is H, F, Cl or OMe;

or a pharmacologically acceptable salt, solvate, hydrate or formulation thereof for the treatment of anthrax.

2. Use of a compound according to Claim 1, wherein R1 is H.

3. Use of a compound according to Claim 1, wherein R2 is F.

4. Use of a compound according to Claim 1, wherein R3 is a cyclopropyl group.

6. Use of a compound according to Claim 1, wherein R4 is an acetylamino group.

7. Use of a compound according to Claim 1, wherein the absolute configuration at C-5 of the oxazolidinone ring is (S) according to the Cahn-Ingold-Prelog nomenclature system.

8. Use of a compound according to Claim 1, wherein X is N or CH.

9. Use of a compound according to Claim 1, wherein Y is CF.

10. Use of a compound according to Claim 1, wherein n is 0.

11. Use of a compound according to Claim 1, wherein A is a group of the formula

$$-B_{0-1}-\left[D-E_{0-1}\right]_m-G_{0-1}-K_{0-1}-$$

wherein

the group B is an alkylene, which may be substituted by one, two or more fluorine atoms, an O, S, SO, SO_2, SO_2NH group, or a heteroalkylen group, which may be substituted by one, two or more fluorine atoms and/or at the optionally present nitrogen atoms by an alkyl or an acyl group;

the groups D independently of each other are optionally anellated heterocycloalkylen groups with 1, 2, 3 or 4 nitrogen atoms, which heterocycloalkylen groups may each be substituted by one, two or more fluorine atoms and/or which each may be substituted at one, two, three or four nitrogen atoms by an alkyl or an acyl group;

the groups E independently of each other are an alkylene, which may be substituted by one, two or more fluorine atoms, an O, S, SO, SO_2, SO_2NH group, or a heteroalkylen group, which may be substituted by one, two or more fluorine atoms and/or at the optionally present nitrogen atoms by an alkyl or an acyl group;

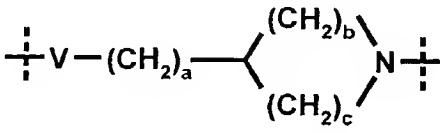
the groups G independently of each other are optionally anellated heterocycloalkylen groups with 1, 2, 3 or 4 nitrogen atoms, which heterocycloalkylen groups may each be substituted by one, two or more fluorine atoms and/or which each may be substituted at one, two, three or four nitrogen atoms by an alkyl or an acyl group;

the group K is an alkylene, which may be substituted by one, two or more fluorine atoms, an O, S, SO, SO_2, SO_2NH group, or a heteroalkylen group, which may be substituted by one, two or more fluorine atoms and/or at the optionally present nitrogen atoms by an alkyl or an acyl group; and m = 1,2,3 or 4.

12. Use of a compound according to Claim 1, wherein A is a group of the formula -V-W-, wherein V is a direct

bond or a group of the formula NH, O, S, SO, SO_2, SO_2NH, PO_4, -NH-CO-NH-, -CO-NH-, -CO-, $-CH_2-$, -CO-O-, $-(CH_2)_{1-3}-O-$, -CH=CH-C(O)-, or -NH-CO-O- and W is a heterocycloalkyl group with 4 to 7 ring atoms or a alkylheterocycloalkyl group with 4 to 7 ring atoms and 1 to 4 carbon atoms in the alkyl chain; all these groups may be substituted by 1, 2, 3 or 4 fluorine atoms, methyl or methoxy groups.

13. Use of a compound according to Claim 1, wherein A is a group of the formula



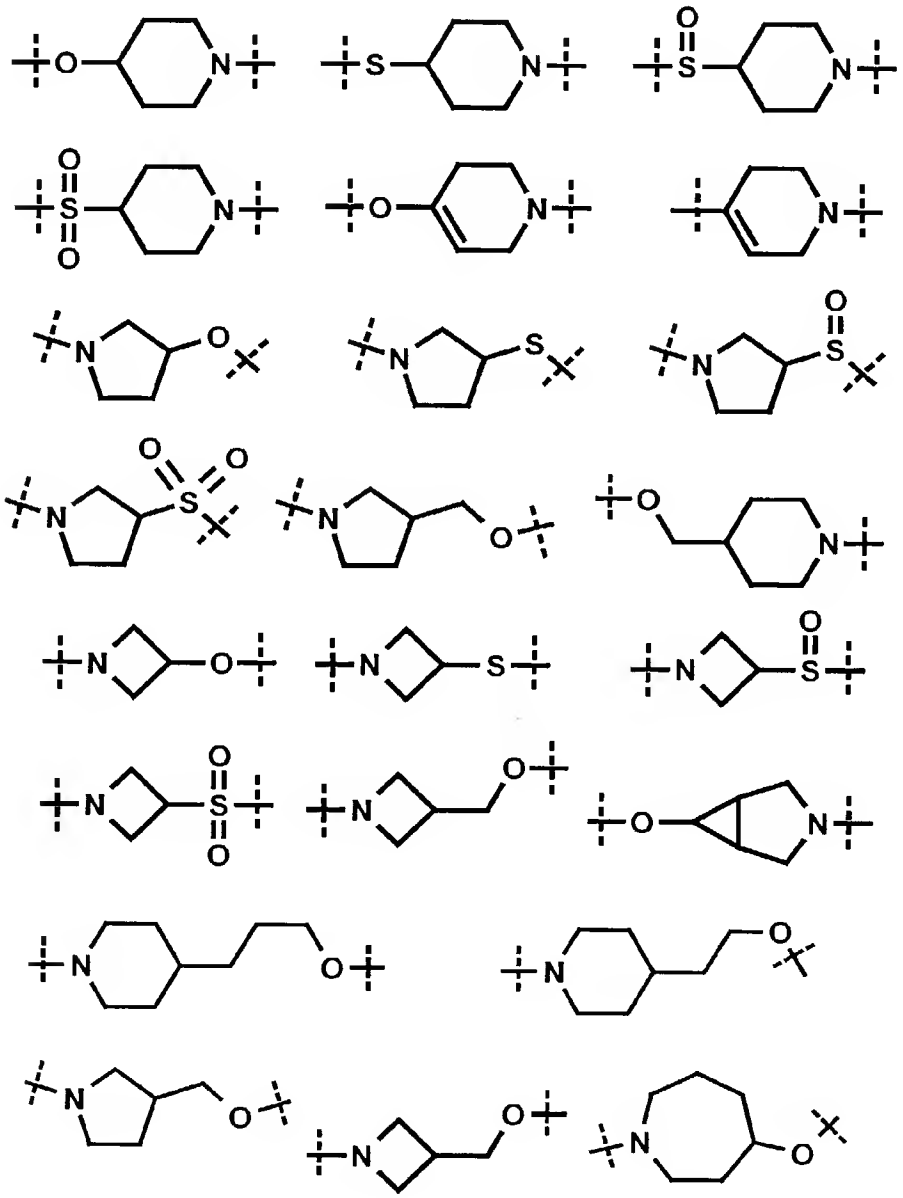
wherein

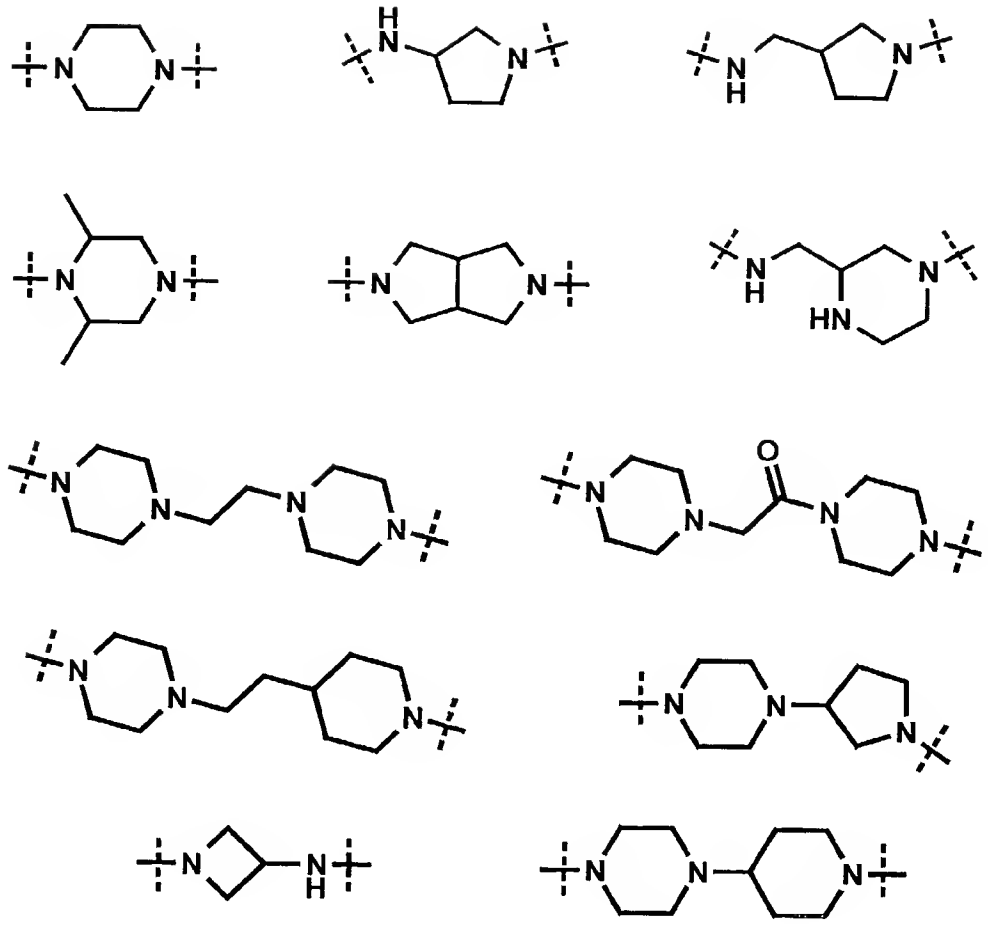
V is a group of the formula NH, O, S, SO, SO_2, SO_2NH, PO_4, -NH-CO-NH-, -CO-NH-, -CO-, $-CH_2-$, -CO-O-, $-(CH_2)_{1-3}-O-$, -CH=CH-C(O)-, or -NH-CO-O-; a is 0, 1, 2, 3 or 4; b is 0, 1, 2, 3 or 4; c is 0, 1, 2, 3 or 4 and 1, 2, 3 or 4 hydrogen atoms may be substituted by F, a methyl- or a methoxy group.

14. Use of a compound according to Claims 12 or 13, wherein V is NH, O, S, SO or SO_2.

15. Use of a compound according to Claims 12 or 13, wherein V is O or NH; a is 0 or 1; b is 1 or 2 and c is 1 or 2.

16. Use of a compound according to Claim 1, wherein A is selected from the following groups which may be substituted by one, two or more fluorine atoms or by an alkyl group which may be substituted by one or more fluorine atoms, and wherein the amino groups may be substituted by an alkyl or an acyl group:





18. Use of a pharmaceutical compositions containing a compound according to Claim 1 and optionally carriers and/or adjuvants and/or diluents for the treatment of anthrax.

19. Use of pro-drugs, which contain a compound according to Claim 1 and at least one pharmacologically acceptable protective group for the treatment of anthrax.

20. Use of a compound, a pharmaceutical composition or a pro-drug according to any one of Claims 1 to 19 for

the manufacture of medicaments for the treatment of anthrax.

21. Use of a compound, a pharmaceutical composition or a pro-drug according to any one of Claims 1 to 19 for the treatment of infections.

Abstract

The present invention relates to the use of compounds, in which the pharmacophores of quinolone and oxazolidinone are chemically linked together through a linker that is stable under physiological conditions, for the treatment of anthrax and other infections.